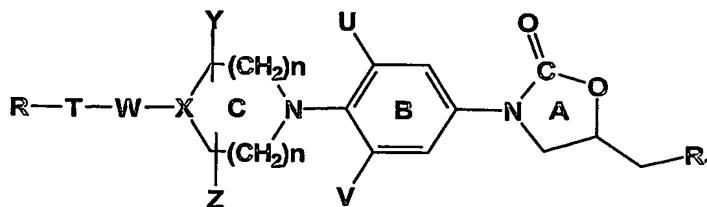


We Claim:

1. Compounds having the structure of Formula 1:

**Formula I**

and their pharmaceutically acceptable salts, pharmaceutically acceptable solvates, esters, enantiomers, diastereomers, N-oxides, polymorphs, prodrugs or metabolites, wherein

T is a five to seven membered heterocyclic ring, substituted heterocyclic ring, aryl or substituted aryl, bound to the ring C with a linker W, and further substituted by a group represented by R, wherein R is H, C₁₋₆ alkyl, F, Cl, Br, I, -CN, COR₅, COOR₅, N(R₆,R₇), NHCOC(R₈, R₉, R₁₀), CON(R₆, R₇), CH₂NO₂, NO₂, CH₂R₈, CHR₉, -CH = N-OR₁₀, -C=CH-R₅, OR₅, SR₅, -C(R₉)=C(R₉)NO₂, C₁₋₁₂ alkyl substituted with one or more of F, Cl, Br, I, OR₄, SR₄, wherein R₄ is hydrogen, alkoxy, aryl, heteroaryl, amines, substituted amines, alkene substituted with aryl, heteroaryl or halogen; R₅ is H, C₁₋₁₂ alkyl, C₃₋₁₂ cycloalkyl, C₁₋₆ alkoxy, aryl, heteroaryl or C₁₋₆ alkyl substituted with one or more of F, Cl, Br, I or OH;

R₆ and R₇ are independently H, optionally substituted C₁₋₁₂ alkyl, C₃₋₁₂ cycloalkyl, C₁₋₆ alkoxy;

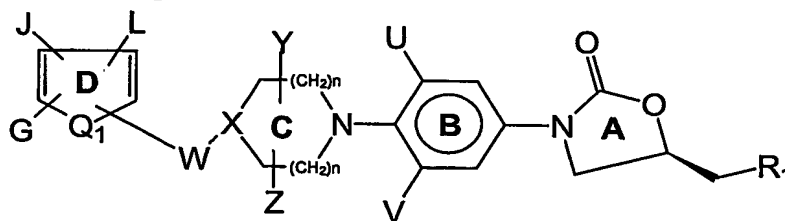
R₈ and R₉ are independently H, C₁₋₆ alkyl, F, Cl, Br, I, C₁₋₁₂ alkyl substituted with one or more of F, Cl, Br, I, OR₅, SR₄, or N(R₆,R₇);

R₁₀= H, optionally substituted C₁₋₁₂ alkyl, C₃₋₁₂ cycloalkyl, C₁₋₆ alkoxy, C₁₋₆ alkyl, aryl or heteroaryl;

n is an integer in the range from 0 to 3;

- 24 X is H, CH, CH-S, CH-O, N, CHNR₁₁ or CCH₂NR₁₁, wherein R₁₁ is hydrogen, optionally
 25 substituted C₁₋₁₂ alkyl, C₃₋₁₂ cycloalkyl, C₁₋₆ alkoxy, C₁₋₆ alkyl, C₁₋₆ alkylcarbonyl, C₁₋₆
 26 alkylcarboxy, aryl or heteroaryl;
- 27 Y and Z are independently hydrogen, C₁₋₆ alkyl, C₃₋₁₂ cycloalkyl, C₀₋₃ bridging groups;
- 28 U and V are independently hydrogen, optionally substituted C₁₋₆ alkyl, F, Cl, Br, I, C₁₋₁₂
 29 alkyl substituted with one or more of F, Cl, Br, I;
- 30 W is CH₂, CO, CH₂NH, -NHCH₂, -CH₂NHCH₂, -CH₂-N (R₁₁)CH₂-, CH₂(R₁₁)N-,
 31 CH(R₁₁), S, CH₂(CO), NH, O, NR₁₁, (CO)CH₂, N(R₁₁)CON(R₁₁), N(R₁₁)C(=S)N(R₁₁),
 32 SO₂ or SO, wherein R₁₁ is hydrogen, optionally substituted C₁₋₁₂ alkyl, C₃₋₁₂ cycloalkyl,
 33 C₁₋₆ alkoxy, C₁₋₆ alkyl, C₁₋₆ alkylcarbonyl, C₁₋₆ alkylcarboxy, aryl or heteroaryl; and
- 34 R₁ is NHC(=O)R₂, NHC(=S)R₂, N(R₃, R₄), NR₃ or OR₃, wherein R₂, R₃, R₄ are
 35 independently hydrogen, thiocarbonyl, amines, substituted amines, aryl heteroaroyl,
 36 heterocyclic, aralkyl, aralkenyl, wherein the heteroaryl and heterocyclic rings may contain
 37 one or more heteroatoms selected from O, S and N; the aryl, heteroaryl, aralkyl and
 38 aralkenyl rings may be unsubstituted or substituted with one or more of alkyl, halogen,
 39 nitro, amino or methylenedioxy.

1 2. Compounds having the structure of Formula II:

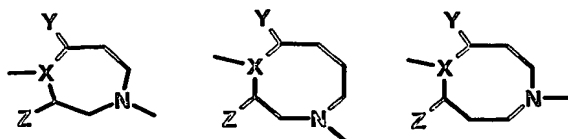


5 **Formula II**

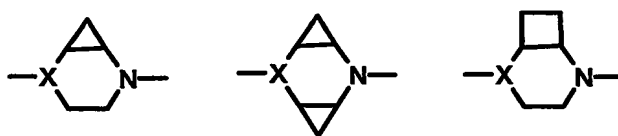
- 6 and their pharmaceutically acceptable salts, pharmaceutically acceptable solvates, esters,
 7 enantiomers, diastereomers, N-oxides, polymorphs, prodrugs or metabolites, wherein
- 8 R₁ is NHC(=O)R₂, NHC(=S)R₂, N(R₃, R₄), NR₃ or OR₃, wherein R₂, R₃, R₄ are
 9 independently hydrogen, thiocarbonyl, amines, substituted amines, aryl heteroaroyl,
 10 heterocyclic, aralkyl, aralkenyl, wherein the heteroaryl and heterocyclic rings may contain

- 11 one or more heteroatoms selected from O, S and N; the aryl, heteroaryl, aralkyl and
12 aralkenyl rings may be unsubstituted or substituted with one or more of alkyl, halogen,
13 nitro, amino or methylenedioxy;
- 14 U and V are independently hydrogen, optionally substituted C₁₋₆ alkyl, F, Cl, Br, I, C₁₋₁₂
15 alkyl substituted with one or more of F, Cl, Br, I;
- 16 Y and Z are independently hydrogen, C₁₋₆ alkyl, C₃₋₁₂ cycloalkyl, C₀₋₃ bridging group;
- 17 X is H, CH, CH-S, CH-O, N, CHNR₁₁ or CCH₂NR₁₁, wherein R₁₁ is hydrogen, optionally
18 substituted C₁₋₁₂ alkyl, C₃₋₁₂ cycloalkyl, C₁₋₆ alkoxy, C₁₋₆ alkyl carbonyl, C₁₋₆
19 alkylcarboxy, aryl or heteroaryl;
- 20 W is CH₂, C=O, CH₂NH, NHCH₂, CH₂NHCH₂, CH₂N(R₁₁)CH₂, CH₂N(R₁₁), CH(R₁₁),
21 S, CH₂(C=O), NH, O, (CO)CH₂, N(R₁₁)CON(R₁₁), SO₂, SO, NR₁₁, N(R₁₁)C(=S)N(R₁₁);
22 wherein R₁₁ is hydrogen, optionally substituted C₁₋₁₂ alkyl, C₃₋₁₂ cycloalkyl, C₁₋₆ alkoxy,
23 C₁₋₆ alkyl carbonyl, C₁₋₆ alkylcarboxy, aryl or heteroaryl;
- 24 n is an integer in the range from 0 to 3;
- 25 Q₁ is O, S or NR₁₁, wherein R₁₁ is as defined above;
- 26 G, J, L are independently H, C₁₋₆ alkyl, F, Cl, Br, I, -CN, COR₅, COOR₅, N(R₆, R₇),
27 NHCOC(R₈, R₉, R₁₀), CON(R₆, R₇), CH₂NO₂, NO₂, CH₂R₈, CHR₉, -CH = N-OR₁₀, -
28 C=CH-R₅, OR₅, SR₅, -C(R₉)=C(R₉)NO₂, C₁₋₁₂ alkyl substituted with one or more of F, Cl,
29 Br, I, OR₄, SR₄, wherein R₄ is as defined above; R₅ is H, C₁₋₁₂ alkyl, C₃₋₁₂ cycloalkyl, C₁₋₆
30 alkoxy, aryl or heteroaryl; C₁₋₆ alkyl substituted with one or more of F, Cl, Br, I or OH;
- 31 R₆ and R₇ are independently H, optionally substituted C₁₋₁₂ alkyl, C₃₋₁₂ cycloalkyl or C₁₋₆
32 alkoxy;
- 33 R₈ and R₉ are independently H, C₁₋₆ alkyl, F, Cl, Br, I, C₁₋₁₂ alkyl substituted with one or
34 more of F, Cl, Br, I, OR₅, SR₄, N(R₆, R₇); and
- 35 R₁₀ = H, optionally substituted C₁₋₁₂ alkyl, C₃₋₁₂ cycloalkyl, C₁₋₆ alkoxy, C₁₋₆ alkyl, aryl or
36 heteroaryl.

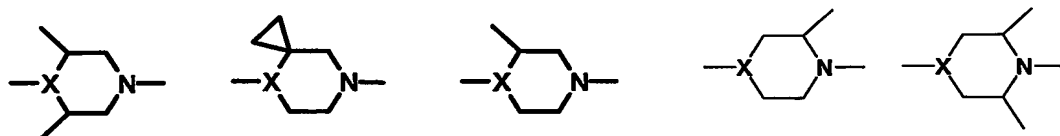
3. The compound according to claim 2 wherein in Formula II, ring C is 6-8 membered in size and the ring may have either two or three carbon atoms between each nitrogen atom comprising of:



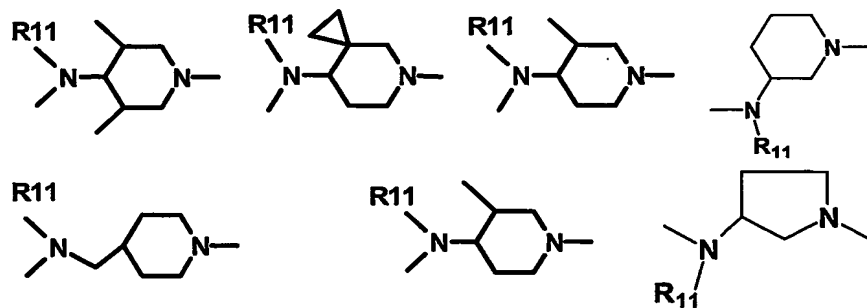
and the ring C may be bridged to form a bicyclic system as shown below:



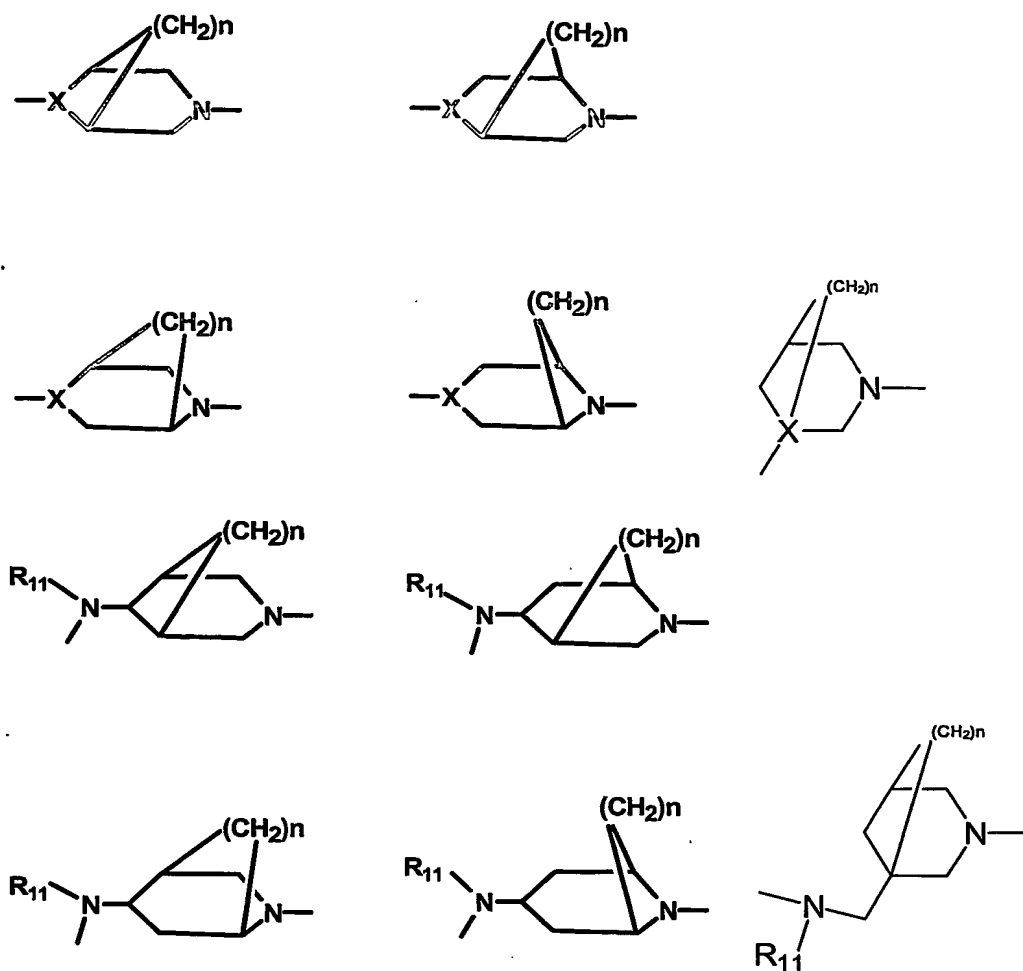
4. The compound according to claim 2 wherein in Formula II, ring C is substituted at positions Y and Z with alkyl groups, cycloalkyl groups, fluoro group, carboxylic and corresponding esters, amides, substituted alkyls or bridging alkyl groups as shown below:



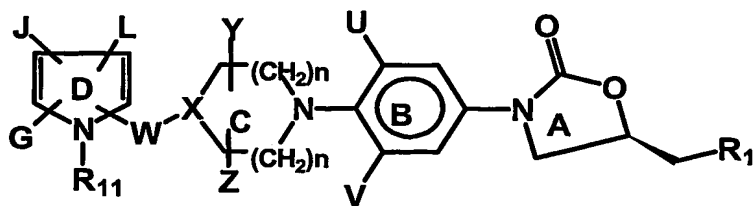
5. The compound according to claim 2 wherein in Formula II, ring C is 6 membered in size and X is $-\text{CH}(\text{NHR}_{11})$, or $>\text{CCH}_2\text{NHR}_{11}$, the ring C is selected from the group consisting of the following rings wherein R_{11} is the same as defined earlier,



or in addition to the above, the ring C includes the following structures:



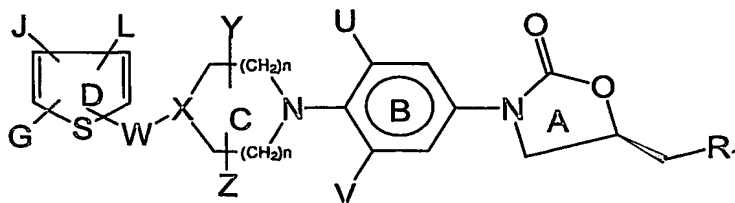
6. The compound according to claim 2 having the structure of Formula III:



Formula III

wherein U, V, Y, Z, X, W, G, J, L, R_1 , R_{11} and n are as defined earlier.

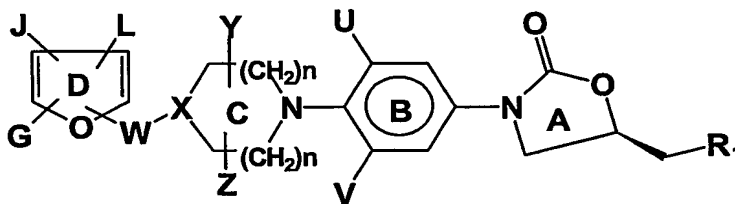
7. The compound according to claim 2 having the structure of Formula IV:



Formula IV

wherein U, V, Y, Z, X, W, G, J, L, R₁ and n are as defined earlier.

8. The compound according to claim 2 having the structure of Formula V:



Formula V

wherein U, V, X, Y, Z, W, G, J, L, R₁ and n are as defined earlier.

9. A compound selected from the group consisting of :

(S)-N-[[3-[3-Fluoro-4-[N-1-[4-{2-furyl-(5-nitro)methyl}] piperazinyl] phenyl]-2-oxo-5-oxazolidinyl]methyl]-3-(2,4-dichlorophenyl)acrylamide (Compound No. 1)

(S)-N-[[3-[3-Fluoro-4-[N-1-[4-{2-furyl-(5-nitro)methyl}] piperazinyl] phenyl]-2-oxo-5-oxazolidinyl]methyl]-3-(4-fluorophenyl)acrylamide (Compound No. 2)

(S)-N-[[3-[3-Fluoro-4-[N-1-[4-{2-furyl-(5-nitro)methyl}] piperazinyl] phenyl]-2-oxo-5-oxazolidinyl]methyl]-2-benzo(b)furanamide (Compound No. 3)

(S)-N-[3-[3-Fluoro-4-[N-1-[4-{2-furyl-(5-nitro)methyl}] piperazinyl] phenyl]-2-oxo-5-oxazolidinyl]methylamine (Compound No. 4)

(S)-N-[[3-[3-Fluoro-4-[N-1-[4-{2-furyl-(5-nitro)methyl}] piperazinyl] phenyl]-2-oxo-5-oxazolidinyl]methyl]-3-(phenyl)acrylamide (Compound No. 5)

- 12 (S)-N-[[3-[3-Fluoro-4-[N-1-[4-{2-furyl-(5-nitro)methyl}] piperazinyl] phenyl]-2-
13 oxo-5-oxazolidinyl]methyl]-3-(1,3-benzodioxol-5-yl)acrylamide (Compound No.
14 6)
- 15 (S)-N-[[3-[3-Fluoro-4-[N-1-[4-{2-thienyl-(5-nitro)methyl}] piperazinyl] phenyl]-
16 2-oxo-5-oxazolidinyl]methyl]-3-(4-fluorophenyl)acrylamide (Compound No. 7)
- 17 (S)-N-[[3-[3-Fluoro-4-[N-1-[4-{2-thienyl-(5-nitro)methyl}] piperazinyl] phenyl]-
18 2-oxo-5-oxazolidinyl]methyl]-3-(4-nitrophenyl)acrylamide (Compound No. 8)
- 19 (S)-N-[[3-[3-Fluoro-4-[N-1-[4-{2-thienyl-(5-nitro)methyl}] piperazinyl] phenyl]-
20 2-oxo-5-oxazolidinyl]methyl]-3-(2,4-dichlorophenyl)acrylamide (Compound
21 No.9)
- 22 (S)-N-[1-[[3-[3-Fluoro-4-[N-1-[4-{2-furyl-(5-nitro)methyl}] piperazinyl] phenyl]-
23 2-oxo-5-oxazolidinyl]methyl]]-thiourea (Compound No. 10)
- 24 (S)-N-[[3-[3-Fluoro-4-[N-1-[4-{2-thienyl-(5-nitro)methyl}]piperazinyl]phenyl]-2-
25 oxo-5-oxazolidinyl]methyl]isothiocyanate (Compound No. 11)
- 26 (S)-N-[1-[[3-[3-Fluoro-4-[N-1-[4-{2-thienyl-(5-nitro)methyl}] piperazinyl]
27 phenyl]-2-oxo-5-oxazolidinyl]methyl]]-thiourea (Compound No. 12)
- 28 (S)-N-[[3-[3-Fluoro-4-[N-1-[4-{2-furyl-(5-nitro)methyl}] piperazinyl] phenyl]-2-
29 oxo-5-oxazolidinyl]methyl]isothiocyanate (Compound No. 13)
- 30 5(S)-Isoxazol-3-yl-oxymethyl-3-[3-fluoro-4-[4-[(4-bromo-5-nitro-2-thienyl)
31 methyl]piperazinyl-1-yl]phenyl]oxazolidin-2-one (Compound No. 14)
- 32 5(S)-Isoxazol-3-yl-oxymethyl-3-[3-fluoro-4-[4-[(5-nitro-2-furyl)methyl]
33 piperazinyl-1-yl]phenyl]oxazolidin-2-one (Compound No. 15)
- 34 5(S)-Isoxazol-3-yl-oxymethyl-3-[3-fluoro-4-[4-[(5-nitro-2-thienyl)
35 methyl]piperazinyl-1-yl]phenyl]oxazolidin-2-one (Compound No. 16)
- 36 (S)-N-[1-[[3-[3-Fluoro-4-[N-1-[4-{2-furyl-(5-nitro)methyl}] piperazinyl] phenyl]-
37 2-oxo-5-oxazolidinyl]methyl]]3,3-dimethyl-thiourea (Compound No. 17)

38 (S)-N-[3-[3-Fluoro-4-[N-1-[4-{2-thienyl-(5-nitro)methyl}] piperazinyl] phenyl]-2-
39 oxo-5-oxazolidinyl]methylamine (Compound No. 18)

1 10. A pharmaceutical composition comprising the compound of claims 1, 2 or 9 and a
2 pharmaceutical acceptable carrier.

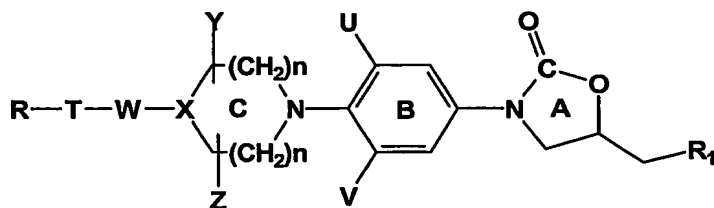
1 11. A pharmaceutical composition comprising a pharmaceutically effective amount of
2 compound according to claims 1, 2 or 9 or a physiologically acceptable acid addition salt
3 thereof with a pharmaceutical acceptable carrier for treating microbial infections.

1 12. A method of treating or preventing microbial infections in a mammal comprising
2 administering to the said mammal, the pharmaceutical composition according to claim 11.

1 13. The method according to claim 12 wherein the microbial infections are caused by
2 gram-positive and gram-negative bacteria.

1 14. The method according to claim 13, wherein the gram-positive bacteria are selected
2 from the group consisting of staphylococcus spp., streptococcus spp., bacillus spp.,
3 corynebacterium spp., clostridia spp., peptostreptococcus spp., listeria spp. and legionella
4 spp.

1 15. A method of treating or preventing aerobic and anaerobic bacterial infections in a
2 mammal comprising administering to said mammal, a therapeutically effective amount of
3 a compound having the structure of Formula I



7 **Formula I**

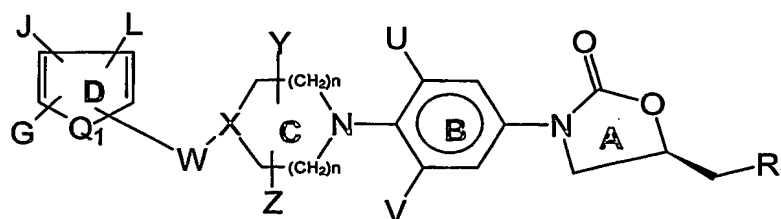
8 and its pharmaceutically acceptable salts, pharmaceutically acceptable solvates, esters,
9 enantiomers, diastereomers, N-oxides, polymorphs, prodrugs or metabolites, wherein

10 T is a five to seven membered heterocyclic ring, substituted heterocyclic ring, aryl or
11 substituted aryl, bound to the ring C with a linker W, and is further substituted by a group
12 represented by R, wherein R is H, C₁₋₆ alkyl, F, Cl, Br, I, -CN, COR₅, COOR₅, N(R₆,R₇),

- 13 $\text{NHCOC}(\text{R}_8, \text{R}_9, \text{R}_{10}), \text{CON}(\text{R}_6, \text{R}_7), \text{CH}_2\text{NO}_2, \text{NO}_2, \text{CH}_2\text{R}_8, \text{CHR}_9, -\text{CH} = \text{N-OR}_{10}, -$
 14 $\text{C}=\text{CH-R}_5, \text{OR}_5, \text{SR}_5, -\text{C}(\text{R}_9)=\text{C}(\text{R}_9)\text{NO}_2, \text{C}_{1-12}$ alkyl substituted with one or more of F, Cl,
 15 Br, I, OR_4, SR_4 , wherein R_4 is hydrogen, alkoxy, aryl, heteroaryl, amines, substituted
 16 amines, alkene substituted with aryl, heteroaryl or halogens; R_5 is H, C_{1-12} alkyl, C_{3-12}
 17 cycloalkyl, C_{1-6} alkoxy, aryl or heteroaryl; C_{1-6} alkyl substituted with one or more of F,
 18 Cl, Br, I or OH;
- 19 R_6 and R_7 are independently H, optionally substituted C_{1-12} alkyl, C_{3-12} cycloalkyl, C_{1-6}
 20 alkoxy;
- 21 R_8 and R_9 are independently H, C_{1-6} alkyl, F, Cl, Br, I, C_{1-12} alkyl substituted with one or
 22 more of F, Cl, Br, I, OR_5, SR_4 , or $\text{N}(\text{R}_6, \text{R}_7)$;
- 23 $\text{R}_{10} = \text{H}$, optionally substituted C_{1-12} alkyl, C_{3-12} cycloalkyl, C_{1-6} alkoxy, C_{1-6} alkyl, aryl or
 24 heteroaryl;
- 25 n is an integer in the range from 0 to 3;
- 26 X is H, CH, CH-S, CH-O, N, CHNR_{11} or $\text{CCH}_2\text{NR}_{11}$, wherein R_{11} is hydrogen, optionally
 27 substituted C_{1-12} alkyl C_{3-12} cycloalkyl, C_{1-6} alkoxy, C_{1-6} alkyl, C_{1-6} alkylcarbonyl, C_{1-6}
 28 alkylcarboxy, aryl or heteroaryl;
- 29 Y and Z are independently hydrogen, C_{1-6} alkyl, C_{3-12} cycloalkyl, C_{0-3} bridging groups;
- 30 U and V are independently hydrogen, optionally substituted C_{1-6} alkyl, F, Cl, Br, I, C_{1-12}
 31 alkyl substituted with one or more of F, Cl, Br, I;
- 32 W is $\text{CH}_2, \text{CO}, \text{CH}_2\text{NH}, -\text{NHCH}_2, -\text{CH}_2\text{NHCH}_2, -\text{CH}_2-\text{N}(\text{R}_{11})\text{CH}_2-, \text{CH}_2(\text{R}_{11})\text{N}-,$
 33 $\text{CH}(\text{R}_{11}), \text{S}, \text{CH}_2(\text{CO}), \text{NH}, \text{O}, \text{NR}_{11}, (\text{CO})\text{CH}_2, \text{N}(\text{R}_{11})\text{CON}(\text{R}_{11}), \text{N}(\text{R}_{11})\text{C}(=\text{S})\text{N}(\text{R}_{11}),$
 34 SO_2 or SO ; wherein R_{11} is hydrogen, optionally substituted C_{1-12} alkyl, C_{3-12} cycloalkyl,
 35 C_{1-6} alkoxy, C_{1-6} alkyl, C_{1-6} alkylcarbonyl, C_{1-6} alkylcarboxy, aryl or heteroaryl; and
- 36 R_1 is $\text{NHC}(=\text{O})\text{R}_2, \text{NHC}(=\text{S})\text{R}_2, \text{N}(\text{R}_3, \text{R}_4), \text{NR}_3$ or OR_3 , wherein $\text{R}_2, \text{R}_3, \text{R}_4$ are
 37 independently hydrogen, thiocarbonyl, amines, substituted amines, aryl heteroaroyl,
 38 heterocyclic, aralkyl, aralkenyl, wherein the heteroaryl and heterocyclic rings may contain
 39 one or more heteroatoms selected from O, S and N; the aryl, heteroaryl, aralkyl and

40 aralkenyl rings may be unsubstituted or substituted with one or more of alkyl, halogen,
41 nitro, amino or methylenedioxy.

1 16. A method of treating or preventing aerobic and anaerobic bacterial infections in a
2 mammal comprising administering to said mammal, a therapeutically effective amount of
3 a compound having the structure of Formula II:



7 **Formula II**

8 and its pharmaceutically acceptable salts, pharmaceutically acceptable solvates, esters,
9 enantiomers, diastereomers, N-oxides, polymorphs, prodrugs or metabolites, wherein

10 R_1 is NHC(=O)R_2 , NHC(=S)R_2 , $\text{N(R}_3, \text{R}_4)$, NR_3 or OR_3 , wherein R_2 , R_3 , R_4 are
11 independently hydrogen, thiocarbonyl, amines, substituted amines, aryl heteroaryl,
12 heterocyclic, aralkyl, aralkenyl, wherein the heteroaryl and heterocyclic rings may contain
13 one or more of heteroatoms selected from O, S and N; the aryl, heteroaryl, aralkyl and
14 aralkenyl rings may be unsubstituted or substituted with one or more of alkyl, halogen,
15 nitro, amino or methylenedioxy;

16 U and V are independently hydrogen, optionally substituted C_{1-6} alkyl, F, Cl, Br, I, C_{1-12}
17 alkyl substituted with one or more of F, Cl, Br, I;

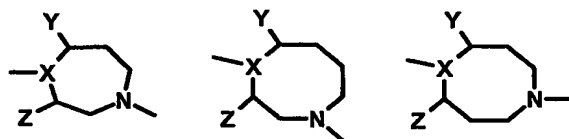
18 Y and Z are independently hydrogen, C_{1-6} alkyl, C_{3-12} cycloalkyl, C_{0-3} bridging group;

19 X is H, CH, CH-S, CH-O, N, CHNR_{11} or $\text{CCH}_2\text{NR}_{11}$, wherein R_{11} is hydrogen, optionally
20 substituted C_{1-12} alkyl, C_{3-12} cycloalkyl, C_{1-6} alkoxy, C_{1-6} alkyl carbonyl, C_{1-6}
21 alkylcarboxy, aryl or heteroaryl;

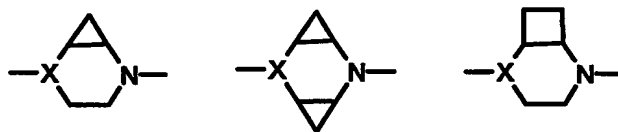
22 W is CH_2 , C=O , CH_2NH , NHCH_2 , CH_2NHCH_2 , $\text{CH}_2\text{N(R}_{11})\text{CH}_2$, $\text{CH}_2\text{N(R}_{11})}$,
23 $\text{CH(R}_{11})$, S, $\text{CH}_2(\text{C=O})$, NH, O, $(\text{CO})\text{CH}_2$, $\text{N(R}_{11})\text{CON(R}_{11})}$, SO_2 , SO, NR_{11} ,
24 $\text{N(R}_{11})\text{C(=S)N(R}_{11})$; wherein R_{11} is hydrogen, optionally substituted C_{1-12} alkyl, C_{3-12}
25 cycloalkyl, C_{1-6} alkoxy, C_{1-6} alkyl carbonyl, C_{1-6} alkylcarboxy, aryl or heteroaryl;

- 26 **n** is an integer in the range from 0 to 3;
- 27 **Q₁** is O, S or NR₁₁, wherein R₁₁ is as defined earlier;
- 28 **G, J, L** are independently H, C₁₋₆ alkyl, F, Cl, Br, I, -CN, COR₅, COOR₅, N(R₆,R₇),
 29 NHCOC(R₈, R₉, R₁₀), CON(R₆, R₇), CH₂NO₂, NO₂, CH₂R₈, CHR₉, -CH = N-OR₁₀, -
 30 C=CH-R₅, OR₅, SR₅, -C(R₉)=C(R₉)NO₂, C₁₋₁₂ alkyl substituted with one or more F, Cl,
 31 Br, I, OR₄, SR₄, wherein R₄ is as defined above; R₅ is H, C₁₋₁₂ alkyl, C₃₋₁₂ cycloalkyl, C₁₋₆
 32 alkoxy, aryl or heteroaryl; C₁₋₆ alkyl substituted with one or more of F, Cl, Br, I or OH;
- 33 R₆ and R₇ are independently H, optionally substituted C₁₋₁₂ alkyl, C₃₋₁₂ cycloalkyl or C₁₋₆
 34 alkoxy;
- 35 R₈ and R₉ are independently H, C₁₋₆ alkyl, F, Cl, Br, I, C₁₋₁₂ alkyl substituted with one or
 36 more of F, Cl, Br, I, OR₅, SR₄, N(R₆,R₇); and
- 37 R₁₀= H, optionally substituted C₁₋₁₂ alkyl, C₃₋₁₂ cycloalkyl, C₁₋₆ alkoxy, C₁₋₆ alkyl, aryl or
 38 heteroaryl.

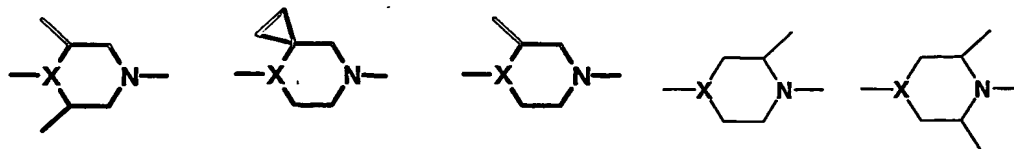
- 1 17. The method according to claim 16 wherein in Formula II, ring C is 6-8 membered
 2 in size and the ring may have either two or three carbon atoms between each nitrogen
 3 atom comprising of:



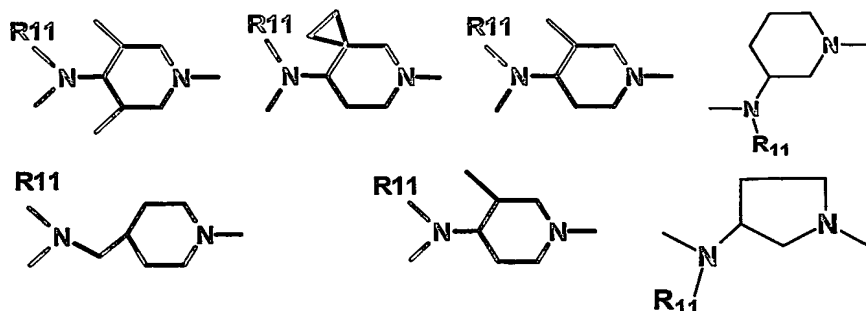
- 6 and ring C may be bridged to form a bicyclic system as shown below:



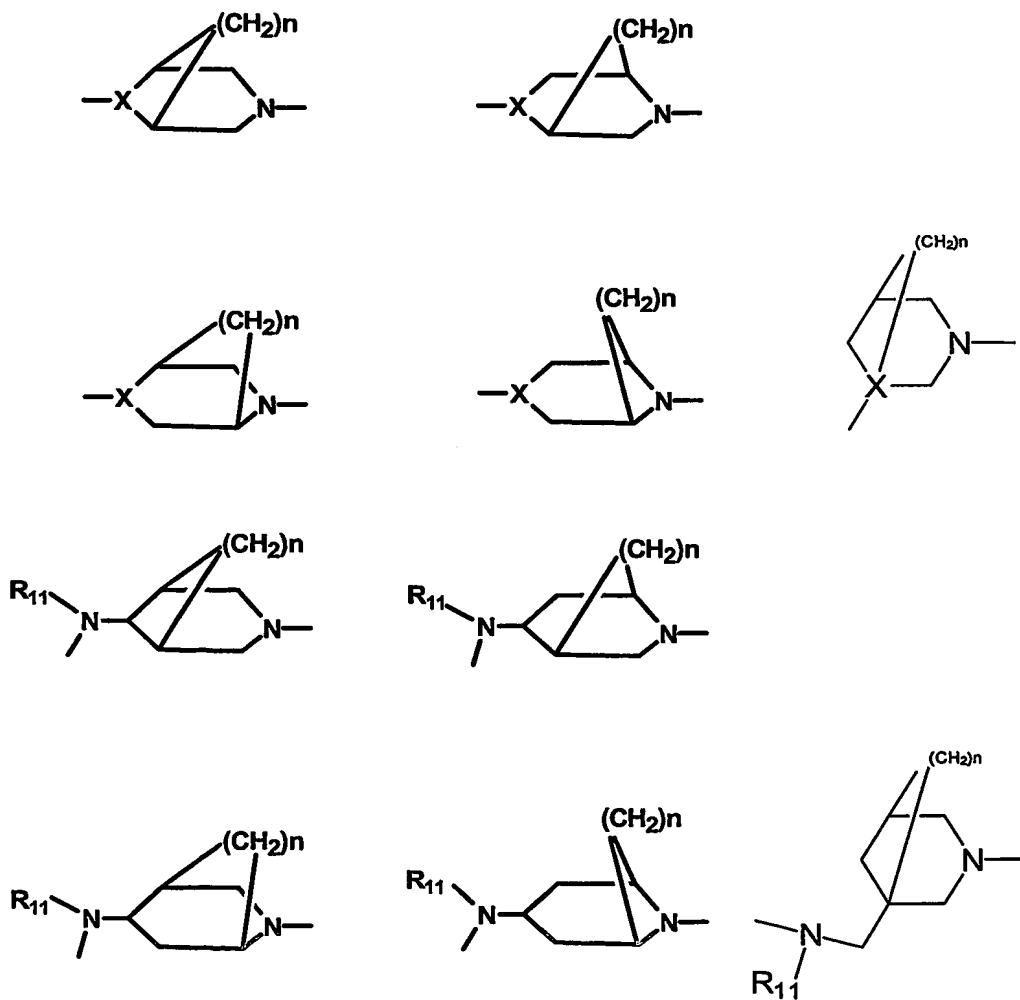
- 1 18. The method according to claim 16 wherein in Formula II, ring C is substituted at
 2 positions Y and Z with alkyl groups, cycloalkyl groups, fluoro group, carboxylic and
 3 corresponding esters, amides, substituted alkyls or bridging alkyl groups as shown below:



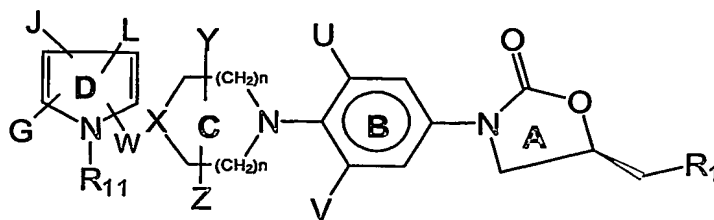
19. The method according to claim 16 wherein in Formula II, ring C is 6 membered in size and X is $-\text{CH}-(\text{NHR}_{11})$, or $>\text{CCH}_2\text{NHR}_{11}-$, the ring C is selected from the group consisting of the following rings wherein R_{11} is the same as defined earlier,



or in addition to the above, the ring C includes the following structures:



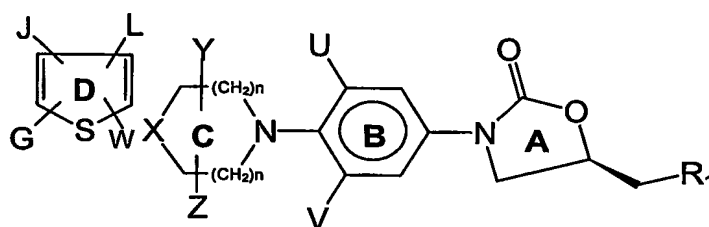
20. The method according to claim 16 having the structure of Formula III,



FORMULA III

wherein U, V, Y, Z, W, X, G, J, L, R₁, R₁₁ and n are as defined earlier.

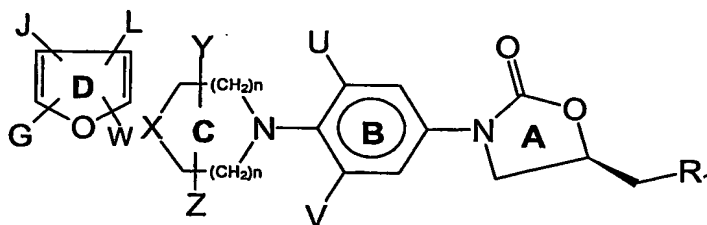
21. The method according to claim 16 having the structure of Formula IV,



FORMULA IV

wherein U, V, Y, Z, W, X, G, J, L, R₁ and n are as defined earlier.

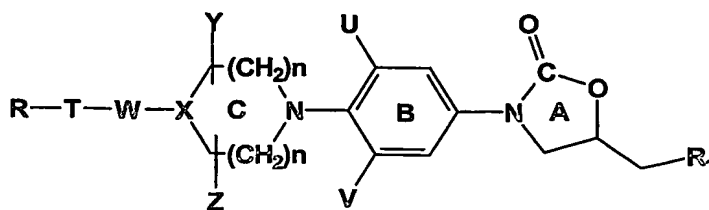
22. The method according to claim 16 having the structure of Formula V,



FORMULA V

wherein U, V, X, Y, Z, W, G, J, L, R₁ and n are as defined earlier.

23. A process for preparing a compound of Formula I,



Formula I

and its pharmaceutically acceptable salts, pharmaceutically acceptable solvates, esters, enantiomers, diastereomers, N-oxides, polymorphs, prodrugs or metabolites, wherein

T is a five to seven membered heterocyclic ring, substituted heterocyclic ring, aryl or substituted aryl, bound to the ring C with a linker W, and is further substituted by a group represented by R, wherein R is H, C₁₋₆ alkyl, F, Cl, Br, I, -CN, COR₅, COOR₅, N(R₆,R₇), NHCOC(R₈, R₉, R₁₀), CON(R₆, R₇), CH₂NO₂, NO₂, CH₂R₈, CHR₉, -CH = N-OR₁₀, -C=CH-R₅, OR₅, SR₅, -C(R₉)=C(R₉)NO₂, C₁₋₁₂ alkyl substituted with one or more of F, Cl, Br, I, OR₄, SR₄, wherein R₄ is hydrogen, alkoxy, aryl, heteroaryl, amines, substituted amines, alkene substituted with aryl, heteroaryl or halogens; R₅ is H, C₁₋₁₂ alkyl, C₃₋₁₂ cycloalkyl, C₁₋₆ alkoxy, aryl or heteroaryl; C₁₋₆ alkyl substituted with one or more of F, Cl, Br, I or OH;

R₆ and R₇ are independently H, optionally substituted C₁₋₁₂ alkyl, C₃₋₁₂ cycloalkyl, C₁₋₆ alkoxy;

R₈ and R₉ are independently H, C₁₋₆ alkyl, F, Cl, Br, I, C₁₋₁₂ alkyl substituted with one or more of F, Cl, Br, I, OR₅, SR₄, or N(R₆,R₇);

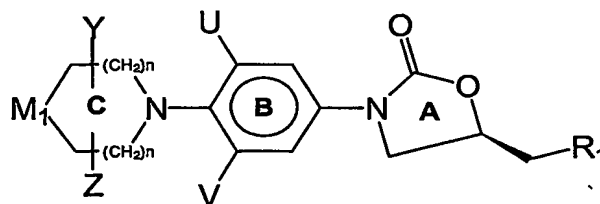
R₁₀= H, optionally substituted C₁₋₁₂ alkyl, C₃₋₁₂ cycloalkyl, C₁₋₆ alkoxy, C₁₋₆ alkyl, aryl or heteroaryl;

n is an integer in the range from 0 to 3;

X is H, CH, CH-S, CH-O, N, CHNR₁₁ or CCH₂NR₁₁, wherein R₁₁ is hydrogen, optionally substituted C₁₋₁₂ alkyl C₃₋₁₂ cycloalkyl, C₁₋₆ alkoxy, C₁₋₆ alkyl, C₁₋₆ alkylcarbonyl, C₁₋₆ alkylcarboxy, aryl or heteroaryl;

- 27 Y and Z are independently hydrogen, C₁₋₆ alkyl, C₃₋₁₂ cycloalkyl, C₀₋₃ bridging groups;
- 28 U and V are independently hydrogen, optionally substituted C₁₋₆ alkyl, F, Cl, Br, I, C₁₋₁₂
- 29 alkyl substituted with one or more of F, Cl, Br, I;
- 30 W is CH₂, CO, CH₂NH, -NHCH₂, -CH₂NHCH₂, -CH₂-N (R₁₁)CH₂-, CH₂(R₁₁)N-,
- 31 CH(R₁₁), S, CH₂(CO), NH, O, NR₁₁, (CO)CH₂, N(R₁₁)CON(R₁₁), N(R₁₁)C(=S)N(R₁₁),
- 32 SO₂ or SO; wherein R₁₁ is hydrogen, optionally substituted C₁₋₁₂ alkyl, C₃₋₁₂ cycloalkyl,
- 33 C₁₋₆ alkoxy, C₁₋₆ alkyl, C₁₋₆ alkylcarbonyl, C₁₋₆ alkylcarboxy, aryl or heteroaryl; and
- 34 R₁ is NHC(=O)R₂, NHC(=S)R₂, N(R₃, R₄), NR₃ or OR₃, wherein R₂, R₃, R₄ are
- 35 independently hydrogen, thiocarbonyl, amines, substituted amines, aryl heteroaroyl,
- 36 heterocyclic, aralkyl, aralkenyl, wherein the heteroaryl and heterocyclic rings may contain
- 37 one or more heteroatoms selected from O, S and N; the aryl, heteroaryl, aralkyl and
- 38 aralkenyl rings may be unsubstituted or substituted with one or more of alkyl, halogen,
- 39 nitro, amino or methylenedioxy;

40 which comprises reacting an amine of Formula VI,



44 **Formula VI**

- 45 with a heteroaromatic compound of Formula R-T-W-R₁₂ wherein R, T, W, R₁, Y, Z, U, V
- 46 and n are as defined earlier and M₁ is NH, NHR₁₃, CHNHR₁₃, -CHCH₂NHR₁₃, -
- 47 CCH₂NHR₁₃, wherein R₁₃ is H, ethyl, methyl, isopropyl, acetyl, cyclopropyl, alkoxy or
- 48 acetyl and R₁₂ is a suitable leaving group selected from the group consisting of fluoro,
- 49 chloro, bromo, iodo, SCH₃, -SO₂CH₃, -SO₂CF₃, Tos, OC₆H₅, -COOH or -CHO.

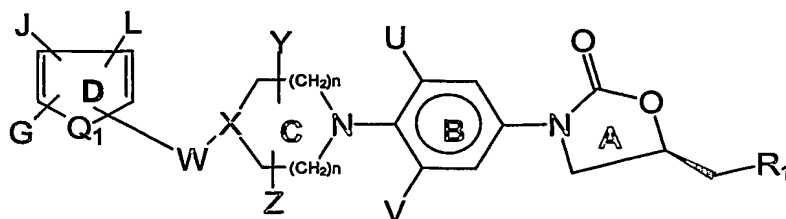
1 24. The process of claim 23, wherein the amine of Formula VI reacts with a

2 heteroaromatic compound of Formula R-T-W-R₁₂ in the presence of a base selected from

3 the group consisting of potassium carbonate, N-ethyl diisopropylamine and dipotassium

4 hydrogen phosphate.

25. A process for preparing a compound of Formula II,



Formula II

and its pharmaceutically acceptable salts, pharmaceutically acceptable solvates, esters, enantiomers, diastereomers, N-oxides, polymorphs, prodrugs or metabolites, wherein

R_1 is $\text{NHC}(=\text{O})R_2$, $\text{NHC}(=\text{S})R_2$, $\text{N}(R_3, R_4)$, NR_3 or OR_3 , wherein R_2 , R_3 , R_4 are independently hydrogen, thiocarbonyl, amines, substituted amines, aryl heteroaryl, heterocyclic, aralkyl, aralkenyl, wherein the heteroaryl and heterocyclic rings may contain one or more of heteroatoms selected from O, S and N; the aryl, heteroaryl, aralkyl and aralkenyl rings may be unsubstituted or substituted with one or more of alkyl, halogen, nitro, amino or methylenedioxy;

U and V are independently hydrogen, optionally substituted C_{1-6} alkyl, F, Cl, Br, I, C_{1-12} alkyl substituted with one or more F, Cl, Br, I;

Y and Z are independently hydrogen, C_{1-6} alkyl, C_{3-12} cycloalkyl, C_{0-3} bridging group;

X is H, CH, CH-S, CH-O, N, CHNR_{11} or $\text{CCH}_2\text{NR}_{11}$, wherein R_{11} is hydrogen, optionally substituted C_{1-12} alkyl, C_{3-12} cycloalkyl, C_{1-6} alkoxy, C_{1-6} alkyl carbonyl, C_{1-6} alkylcarboxy, aryl or heteroaryl;

W is CH_2 , $\text{C}=\text{O}$, CH_2NH , NHCH_2 , CH_2NHCH_2 , $\text{CH}_2\text{N}(R_{11})\text{CH}_2$, $\text{CH}_2\text{N}(R_{11})$, $\text{CH}(R_{11})$, S, $\text{CH}_2(\text{C}=\text{O})$, NH, O, $(\text{CO})\text{CH}_2$, $\text{N}(R_{11})\text{CON}(R_{11})$, SO_2 , SO, NR_{11} , $\text{N}(R_{11})\text{C}(=\text{S})\text{N}(R_{11})$; wherein R_{11} is hydrogen, optionally substituted C_{1-12} alkyl, C_{3-12} cycloalkyl, C_{1-6} alkoxy, C_{1-6} alkyl carbonyl, C_{1-6} alkylcarboxy, aryl or heteroaryl;

n is an integer in the range from 0 to 3;

Q_1 is O, S or NR_{11} , wherein R_{11} is as defined earlier;

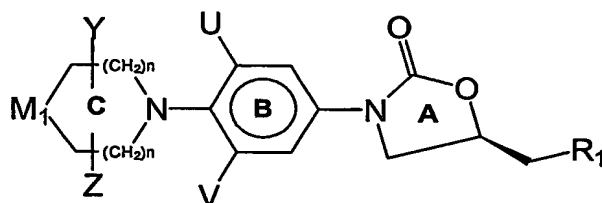
G, J, L are independently H, C₁₋₆ alkyl, F, Cl, Br, I, -CN, COR₅, COOR₅, N(R₆,R₇), NHCOC(R₈, R₉, R₁₀), CON(R₆, R₇), CH₂NO₂, NO₂, CH₂R₈, CHR₉, -CH = N-OR₁₀, -C=CH-R₅, OR₅, SR₅, -C(R₉)=C(R₉)NO₂, C₁₋₁₂ alkyl substituted with one or more F, Cl, Br, I, OR₄, SR₄, wherein R₄ is as defined above; R₅ is H, C₁₋₁₂ alkyl, C₃₋₁₂ cycloalkyl, C₁₋₆ alkoxy, aryl or heteroaryl; C₁₋₆ alkyl substituted with one or more of F, Cl, Br, I or OH;

R₆ and R₇ are independently H, optionally substituted C₁₋₁₂ alkyl, C₃₋₁₂ cycloalkyl or C₁₋₆ alkoxy;

R₈ and R₉ are independently H, C₁₋₆ alkyl, F, Cl, Br, I, C₁₋₁₂ alkyl substituted with one or more of F, Cl, Br, I, OR₅, SR₄, N(R₆,R₇); and

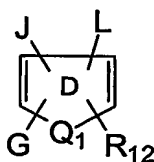
R₁₀= H, optionally substituted C₁₋₁₂ alkyl, C₃₋₁₂ cycloalkyl, C₁₋₆ alkoxy, C₁₋₆ alkyl, aryl or heteroaryl;

comprising reacting a compound of Formula VI,



Formula VI

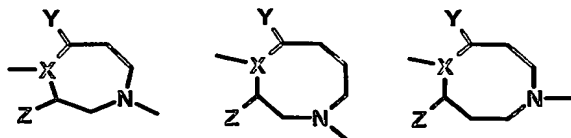
with a heteroaromatic compound of Formula VII,



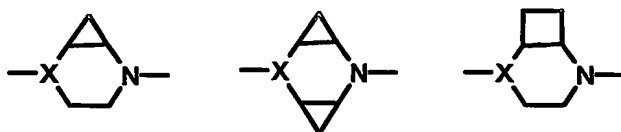
Formula VII

wherein R₁, U, V, Y, Z, G, J, L and Q₁ are as defined earlier and M₁ is NH, NHR₁₃, CHNHR₁₃, -CHCH₂NHR₁₃, -CCH₂NHR₁₃, wherein R₁₃ is H, ethyl, methyl, isopropyl, acetyl, cyclopropyl, alkoxy or acetyl and R₁₂ is a suitable leaving group selected from the group consisting of fluoro, chloro, bromo, iodo, SCH₃, -SO₂CH₃, -SO₂CF₃, Tos, OC₆H₅, -COOH or -CHO.

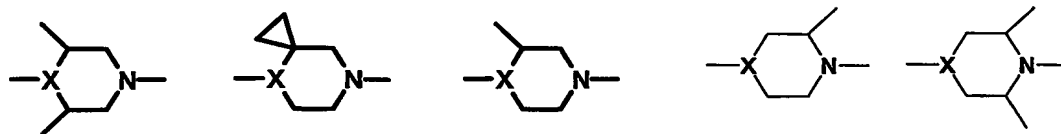
26. The process according to claim 25 wherein in Formula II, ring C is 6-8 membered in size and the ring may have either two or three carbon atoms between each nitrogen atom comprising of:



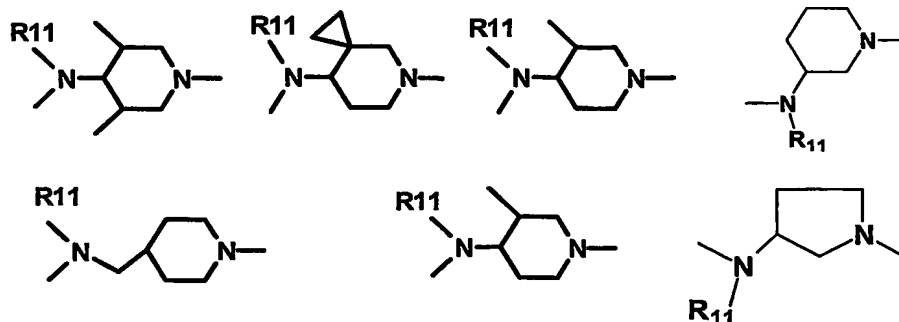
and ring C may be bridged to form a bicyclic system as shown below:



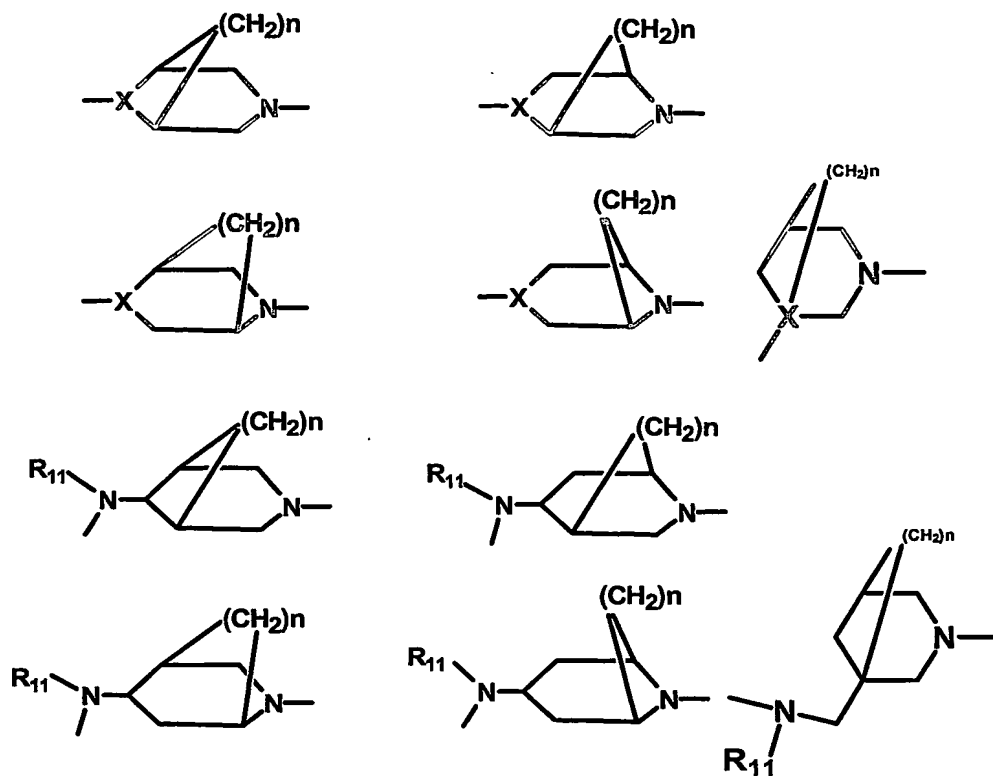
27. The process according to claim 25 wherein in Formula II, ring C is substituted at positions Y and Z with alkyl groups, cycloalkyl groups, fluoro group, carboxylic and corresponding esters, amides, substituted alkyls or bridging alkyl groups as shown below:



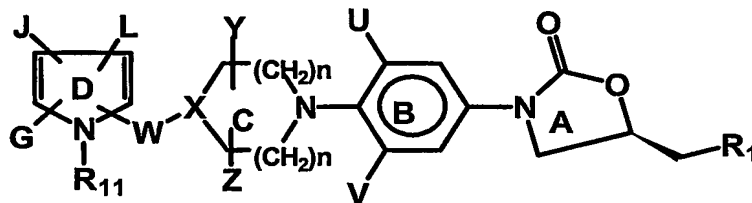
28. The process according to claim 25 wherein in Formula II, ring C is 6 membered in size and X is $-\text{CH}(\text{NHR}_{11})$, or $>\text{CCH}_2\text{NHR}_{11}$ -, the ring C is selected from the group consisting of the following rings wherein R_{11} is the same as defined earlier,



8 or in addition to the above, the ring C includes the following structures:



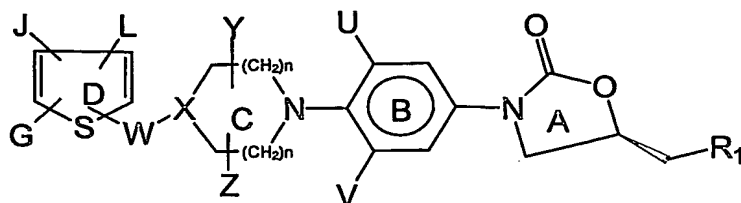
1 29. The process according to claim 25 having the structure of Formula III,



6 **Formula III**

6 wherein U, V, Y, Z, W, X, G, J, L, R₁, R₁₁ and n are as defined above.

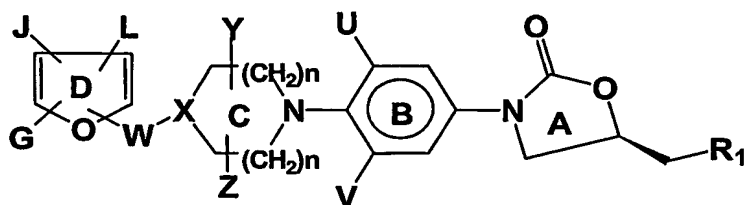
1 30. The process according to claim 25 having the structure of Formula IV,



Formula IV

6 wherein U, V, Y, Z, W, X, G, J, L, R₁ and n are as defined earlier.

1 31. The process according to claim 25 having the structure of Formula V,



Formula V

6 wherein U, V, X, Y, Z, W, G, J, L, R₁ and n are as defined earlier.

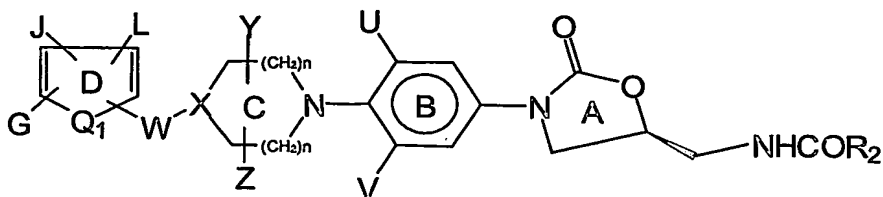
1 32. The process according to claim 25 wherein the reaction is carried out in the
2 presence of ligands selected from the group consisting of
3 tris(dibenzylideneacetone)dipalladium (Pd₂(dba)₃) and palladium diacetate (Pd (OAc)₂).

1 33. The process according to claim 25 wherein the heteroaromatic compound of
2 Formula VII is 3-bromothiophene.

1 34. The process according to claim 25 wherein the reaction of compound of Formula
2 VI with a compound of Formula VII is carried out in a suitable solvent selected from the
3 group consisting of dimethylformamide, dimethylacetamide, acetonitrile,
4 dimethylsulfoxide and ethylene glycol.

35. The process according to claim 25 wherein the reaction of compound of Formula VI with a compound of Formula VII is carried out in the presence of a suitable base selected from the group consisting of triethylamine diisopropylethylamine, potassium carbonate, sodium carbonate and dipotassium hydrogenphosphate.

- 1 36. A process of preparing a compound of Formula X



Formula X

- 2
- 3 and its pharmaceutically acceptable salts, pharmaceutically acceptable solvates, esters,
4 enantiomers, diastereomers, N-oxides, polymorphs, prodrugs or metabolites, wherein
- 5 R_2 is hydrogen, thiocarbonyl, amines, substituted amines, aryl heteroaryl, heterocyclic,
6 aralkyl, aralkenyl, wherein the heteroaryl and heterocyclic rings may contain one or more
7 heteroatoms selected from O, S and N; the aryl, heteroaryl, aralkyl and aralkenyl rings
8 may be unsubstituted or substituted with one or more of alkyl, halogen, nitro, amino or
9 methylenedioxy;
- 10 U and V are independently hydrogen, optionally substituted C_{1-6} alkyl, F, Cl, Br, I, C_{1-12}
11 alkyl substituted with one or more of F, Cl, Br, I;
- 12 Y and Z are independently hydrogen, C_{1-6} alkyl, C_{3-12} cycloalkyl, C_{0-3} bridging group;
- 13 X is H, CH, CH-S, CH-O, N, $CHNR_{11}$ or CCH_2NR_{11} , wherein R_{11} is hydrogen, optionally
14 substituted C_{1-12} alkyl, C_{3-12} cycloalkyl, C_{1-6} alkoxy, C_{1-6} alkyl carbonyl, C_{1-6}
15 alkylcarboxy, aryl or heteroaryl;
- 16 W is CH_2 , $C=O$, CH_2NH , $NHCH_2$, CH_2NHCH_2 , $CH_2N(R_{11})CH_2$, $CH_2N(R_{11})$,
17 $CH(R_{11})$, S, $CH_2(C=O)$, NH, O, $(CO)CH_2$, $N(R_{11})CON(R_{11})$, SO_2 , SO, NR_{11} ,
18 $N(R_{11})C(=S)N(R_{11})$; wherein R_{11} is hydrogen, optionally substituted C_{1-12} alkyl, C_{3-12}
19 cycloalkyl, C_{1-6} alkoxy, C_{1-6} alkyl carbonyl, C_{1-6} alkylcarboxy, aryl or heteroaryl;
- 20 n is an integer in the range from 0 to 3;
- 21 Q_1 is O, S or NR_{11} , wherein R_{11} is as defined earlier;
- 22 G, J, L are independently H, C_{1-6} alkyl, F, Cl, Br, I, $-CN$, COR_5 , $COOR_5$, $N(R_6, R_7)$,
23 $NHCOC(R_8, R_9, R_{10})$, $CON(R_6, R_7)$, CH_2NO_2 , NO_2 , CH_2R_8 , CHR_9 , $-CH = N-OR_{10}$, -

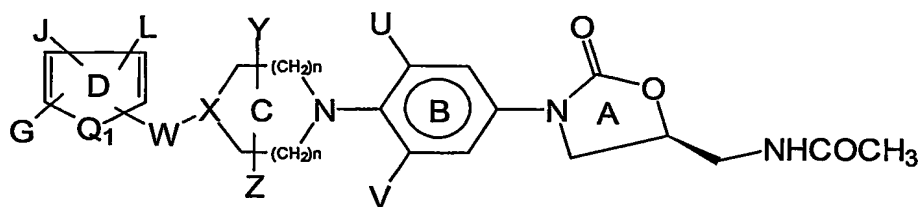
24 $C=CH-R_5$, OR_5 , SR_5 , $-C(R_9)=C(R_9)NO_2$, C_{1-12} alkyl substituted with one or more F, Cl,
 25 Br, I, OR_4 , SR_4 , wherein R_4 is as defined above; R_5 is H, C_{1-12} alkyl, C_{3-12} cycloalkyl, C_{1-6}
 26 alkoxy, aryl or heteroaryl; C_{1-6} alkyl substituted with one or more of F, Cl, Br, I or OH;

27 R_6 and R_7 are independently H, optionally substituted C_{1-12} alkyl, C_{3-12} cycloalkyl or C_{1-6}
 28 alkoxy;

29 R_8 and R_9 are independently H, C_{1-6} alkyl, F, Cl, Br, I, C_{1-12} alkyl substituted with one or
 30 more of F, Cl, Br, I, OR_5 , SR_4 , $N(R_6, R_7)$; and

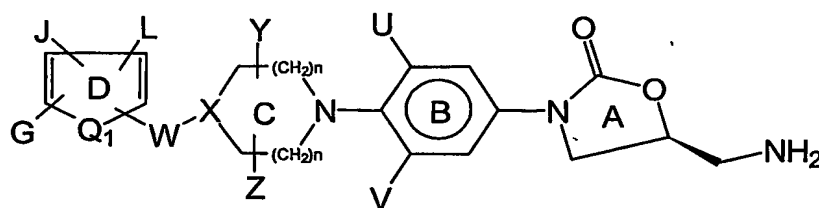
31 R_{10} = H, optionally substituted C_{1-12} alkyl, C_{3-12} cycloalkyl, C_{1-6} alkoxy, C_{1-6} alkyl, aryl or
 32 heteroaryl;

33 comprising hydrolyzing the compound of Formula VIII,



37 **Formula VIII**

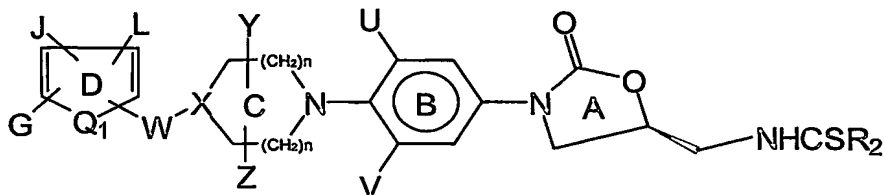
38 to give the amine of Formula IX,



42 **Formula IX**

43 which on reaction with aryl carboxylic acids gives the amide of Formula X.

- 1 37. A process of preparing a compound of Formula XII



Formula XII

2

3 and its pharmaceutically acceptable salts, pharmaceutically acceptable solvates, esters,
4 enantiomers, diastereomers, N-oxides, polymorphs, prodrugs or metabolites, wherein

5 R₂ is hydrogen, thiocarbonyl, amines, substituted amines, aryl heteroaryl, heterocyclic,
6 aralkyl, aralkenyl, wherein the heteroaryl and heterocyclic rings may contain one or more
7 heteroatoms selected from O, S and N; the aryl, heteroaryl, aralkyl and aralkenyl rings
8 may be unsubstituted or substituted with one or more of alkyl, halogen, nitro, amino or
9 methylenedioxy;

10 U and V are independently hydrogen, optionally substituted C₁₋₆ alkyl, F, Cl, Br, I, C₁₋₁₂
11 alkyl substituted with one or more of F, Cl, Br, I;

12 Y and Z are independently hydrogen, C₁₋₆ alkyl, C₃₋₁₂ cycloalkyl, C₀₋₃ bridging group;

13 X is H, CH, CH-S, CH-O, N, CHNR₁₁ or CCH₂NR₁₁, wherein R₁₁ is hydrogen, optionally
14 substituted C₁₋₁₂ alkyl, C₃₋₁₂ cycloalkyl, C₁₋₆ alkoxy, C₁₋₆ alkyl carbonyl, C₁₋₆
15 alkylcarboxy, aryl or heteroaryl;

16 W is CH₂, C=O, CH₂NH, NHCH₂, CH₂NHCH₂, CH₂N(R₁₁)CH₂, CH₂N(R₁₁),
17 CH(R₁₁), S, CH₂(C=O), NH, O, (CO)CH₂, N(R₁₁)CON(R₁₁), SO₂, SO, NR₁₁,
18 N(R₁₁)C(=S)N(R₁₁); wherein R₁₁ is hydrogen, optionally substituted C₁₋₁₂ alkyl, C₃₋₁₂
19 cycloalkyl, C₁₋₆ alkoxy, C₁₋₆ alkyl carbonyl, C₁₋₆ alkylcarboxy, aryl or heteroaryl;

20 n is an integer in the range from 0 to 3;

21 Q₁ is O, S or NR₁₁, wherein R₁₁ is as defined earlier;

22 G, J, L are independently H, C₁₋₆ alkyl, F, Cl, Br, I, -CN, COR₅, COOR₅, N(R₆, R₇),
23 NHCOC(R₈, R₉, R₁₀), CON(R₆, R₇), CH₂NO₂, NO₂, CH₂R₈, CHR₉, -CH = N-OR₁₀, -

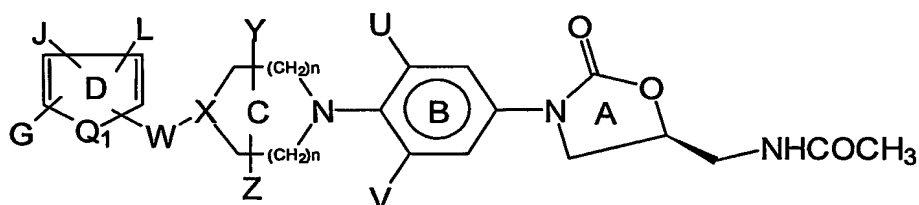
24 $C=CH-R_5$, OR_5 , SR_5 , $-C(R_9)=C(R_9)NO_2$, C_{1-12} alkyl substituted with one or more F, Cl,
 25 Br, I, OR_4 , SR_4 , wherein R_4 is as defined above; R_5 is H, C_{1-12} alkyl, C_{3-12} cycloalkyl, C_{1-6}
 26 alkoxy, aryl or heteroaryl; C_{1-6} alkyl substituted with one or more of F, Cl, Br, I or OH;

27 R_6 and R_7 are independently H, optionally substituted C_{1-12} alkyl, C_{3-12} cycloalkyl or C_{1-6}
 28 alkoxy;

29 R_8 and R_9 are independently H, C_{1-6} alkyl, F, Cl, Br, I, C_{1-12} alkyl substituted with one or
 30 more of F, Cl, Br, I, OR_5 , SR_4 , $N(R_6, R_7)$; and

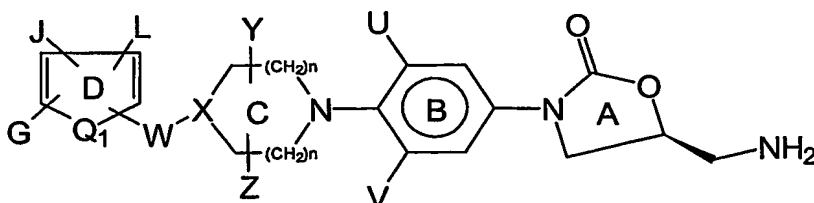
31 R_{10} = H, optionally substituted C_{1-12} alkyl, C_{3-12} cycloalkyl, C_{1-6} alkoxy, C_{1-6} alkyl, aryl or
 32 heteroaryl;

33 comprising hydrolyzing the compound of Formula VIII,



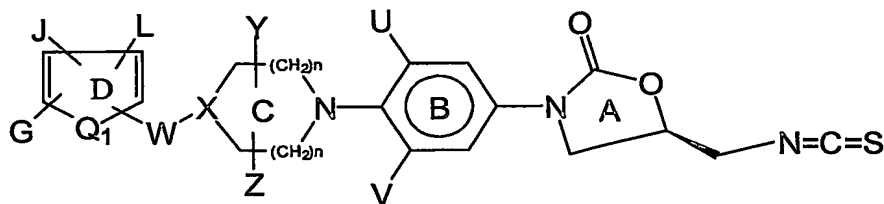
37 **Formula VIII**

38 to give the amine of Formula IX,



42 **Formula IX**

- 43 which is reacted with carbon disulfide and ethylchloroformate to give the corresponding
 44 isothiocyanates of Formula XI,



Formula XI

- 45 which is further reacted with (un) substituted amines to give the thiourea of Formula XII.

1 38. The process according to claim 36, wherein the conversion of amine of Formula
 2 IX to the amide of Formula X is carried out in the presence of condensing agents selected
 3 from the group consisting of 1,3-dicyclohexyl carbodiimide (DCC) and 1-(3-
 4 dimethylamino propyl)-3-ethyl carbodiimide hydrochloride (EDC).

1 39. The process according to claim 37, wherein the reaction of isothiocyanates of
 2 Formula XI with (un) substituted amine is carried out in a suitable solvent selected from
 3 the group consisting of dimethylformamide, dimethylacetamide, dichloromethane and
 4 tetrahydrofuran.

1 40. The process according to claim 37, wherein the reaction of isothiocyanates of
 2 Formula XI with (un) substituted amines is carried out at a temperature range of about -
 3 70°C to about 180°C.

1 41. The process according to claim 37, wherein the reaction of isothiocyanates of
 2 Formula XI with (un) substituted amine is carried out in the presence of a suitable base
 3 selected from the group consisting of triethylamine, diisopropylamine, potassium
 4 carbonate and sodium carbonate.